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Attorney's Docket No. 049542/283879

PATENT



IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re: Wilson *et al.*

Confirmation No.: 9724

Appl. No.: 10/780,296

Examiner: Not Assigned

Filed: February 17, 2004

Pub. Date: June 2, 2005

Pub. No.: US-2005-0119258-A1

For: A₁ ADENOSINE RECEPTOR ANTAGONISTS

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Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

**REQUEST FOR A CORRECTED OR REVISED PATENT APPLICATION
PUBLICATION UNDER 37 C.F.R. §1.221(b)**

Sir:

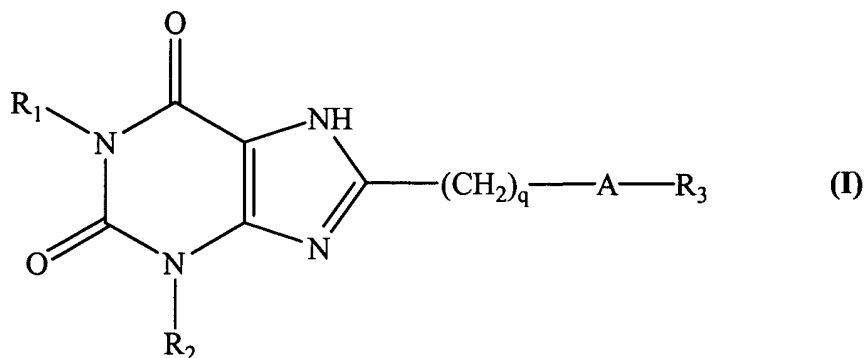
Certain errors appear in the patent application publication identified above. These errors were through mistakes incurred by the Patent Office. The errors appear in the claims, and are apparent from the USPTO records. Applicant therefore requests a corrected patent application publication under 37 C.F.R. §1.221(b), for which there is no fee.

For the convenience of the office personnel, the necessary corrections have been set forth herein below in the format used for entering amendments to the claims.

Please correct the publication errors as follows:

In The Claims:

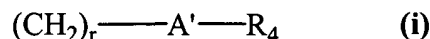
1. A compound of formula (I):



wherein:

A is a 5- or 6-membered aromatic or heteroaromatic ring containing 0 to 4 heteroatoms selected from the group consisting of N, O, and S;

R₂ is of the formula (i):



wherein:

A' is a 5- or 6-membered aromatic or heteroaromatic ring containing 0 to 4 heteroatoms selected from the group consisting of N, O, and S;

r is an integer ranging from 1 to 20;

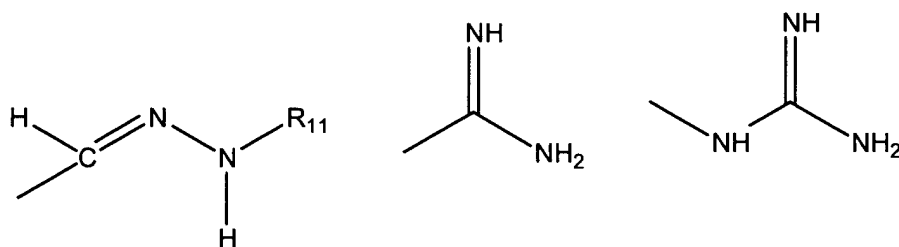
R₄ is selected from the group consisting of H; NH₂; (CH₂)_sOH, wherein s is an integer ranging from 1 to 8; R₁₄COOH, wherein R₁₄ is an alkyl or alkylidene group having 1 to 8 carbon atoms, halo, NHR₈, NR₈R₉, NHCOR₈, NR₈COR₉, SO₃H and PO₃H₂;

R₃ is selected from the group consisting of H, NH₂, R₁₅COOH, wherein R₁₅ is an alkyl or alkylidene group having 1 to 8 carbon atoms, and (CH₂)_tOH, wherein t is an integer ranging from 1 to 8; halo, NHR₈, NR₈R₉, NHCOR₈, NR₈COR₉, SO₃H and PO₃H₂;

q is an integer ranging from 1 to 8;

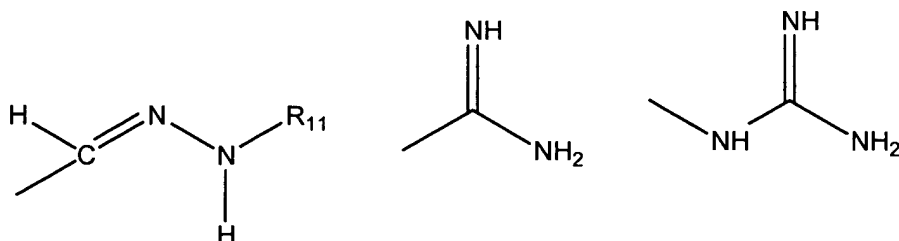
or R₁ or R₂ is a C₁-C₈ alkanyl group, C₂-C₈-alkenyl- or C₂-C₈ -alkynyl- group which is optionally substituted by -CN, -CH₂NR₆R₇OH, -OR₈, -NR₆R₇, -NHCOR₈, -NHCONR₆R₇, halogen, -OCOR₈, -OCH₂COOH, -OCH₂COOR₈, -SO₂R₅, -S-R₅, -NHCONH phenyl, -OCH₂-CONR₆R₇, -OCH₂CH₂OH, -SO₂-CH₂-CH₂-O-COR₈, -OCH₂-CH₂-NR₆R₇, -SO₂-CH₂-CH₂-OH, -

CONHSO₂R₈, -CH₂CONHSO₂R₈, -OCH₂CH₂OR₈, -COOH, --COOR₈, -CONR₆R₇, -CHO, -SR₈,
 -SOR₈, -SO₂R₈, -SO₃H, -PO₃H₂, -SO₂NR₆R₇, -OCH₂-CH₂OCOR₈, -CH=NOH, -CH=NOR₈, -
 COR₉, -CH(OH)R₉, -CH(OR₈)₂, -CH=CH-R₁₀, -OCONR₆R₇,



or by 1,3-dioxolane or 1,3-dioxane which is optionally mono- or polysubstituted by methyl; or

denotes phenyl-C₁-C₆-alkylene, phenyl-C₂-C₆-alkenylene or phenyl-C₂-C₆-alkynylene, in which the phenyl ring is optionally substituted, either directly or via a C₁-C₄-alkylene group, with one or more of the following groups: -C₁-C₃-alkyl, -CN, -CH₂NR₆R₇, -NO₂, -OH, -OR₈, -CH₂-NH-SO₂-R₈, -NHCOR₈, -NHCONR₆R₇, halogen, -OCOR₈, -OCH₂COOH, -OCH₂COOR₈, -CH₂OCOR₈, -SO₂R₅, -OCH₂-CONR₆R₇, -OCH₂CH₂OH, -OCH₂-CH₂-NR₆R₇, -CONHSO₂R₈, -OCH₂CH₂OR₈, -COOH, -COOR₈, -CF₃, cyclopropyl, -CONR₆R₇, -CH₂OH, -CH₂OR₈, -CHO, -SR₈, --SOR₈, -SO₂R₈, -SO₃H, -PO₃H₂, -SO₂NR₆R₇, -OCH₂-CH₂OCOR₈, -CH=NOH, -CH=NOR₈, -COR₉, -CH(OH)R₉, -CH(OR₈)₂, -NHCOOR₈, -CH₂CONHSO₂R₈, -CH=CH-R₁₀, -OCONR₆R₇, -CH₂-O-CONR₆R₇, -CH₂-CH₂-O-CONR₆R₇,

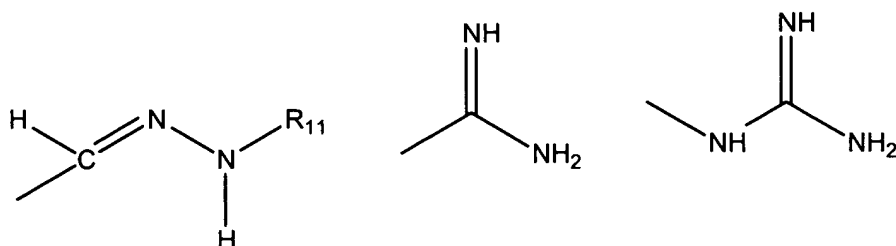


or by 1,3-dioxolane or 1,3-dioxane which is optionally mono- or polysubstituted by methyl; or

denotes C₃-C₇-cycloalkyl-C₁-C₆-alkylene-, C₃-C₇-cycloalkyl-C₂-C₆-alkenylene-, C₃-C₇-cycloalkyl-C₂-C₆-alkynylene-, in which the cycloalkyl group may optionally be substituted, either directly or via a C₁₋₄-alkylene group, by -CN, -CH₂NR₆R₇, =O, -OH, -OR₈, -NR₆R₇, -NHCOR₈, -NHCONR₆R₇, halogen, --OCOR₈, -OCH₂COOH, -OCH₂COOR₈, -CH₂OCOR₈, -

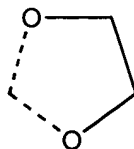
In re: Wilson *et al.*
 Appl. No. 10/780,296
 Filed: February 17, 2004

SO₂R₅, -OCH₂CONR₆R₇, -OCH₂CH₂OH, -OCH₂CH₂NR₆R₇, -OCH₂CH₂OR₈, -COOH, -COOR₈, -CONR₆R₇, -CH₂OH, -CH₂OR₈, -CHO, -SR₈, -SOR₈, -SO₂R₈, -SO₃H, -PO₃H₂, -SO₂NR₆R₇, -OCH₂-CH₂-OCOR₈, -CH=NOH, -CH=NOR₆R₇, -COR₉, -CH(OH)R₉, -CONHSO₂R₈, -CH(OR₈)₂, -NHCOOR₈, -CH=CH-R₁₀, -OCONR₆R₇, -CH₂-O-CONR₆R₇, -CH₂-CH₂-O-CONR₆R₇,



or by 1,3-dioxolane or 1,3-dioxane which is optionally mono- or polysubstituted by methyl; or

denotes a group of the formula A-C₁-C₆-alkylene-, A-CONH-C₁-C₆-alkylene-, A-CONH-C₂-C₆-alkenylene-, A-CONH-C₂-C₆-alkynylene-, A-NH-CO-C₁-C₆-alkylene, A-NH-CO-C₂-C₆-alkenylene, A-NH-CO-C₂-C₆-alkynylene, A-C₂-C₆-alkenylene- or A-C₂-C₆-alkynylene, wherein A is a C- or N-linked 5- or 6-membered heterocyclic ring, 5- or 6-membered aromatic ring, or 5- or 6-membered heteroaromatic ring which contains nitrogen, oxygen or sulphur as heteroatoms and may optionally be mono- or polysubstituted, by C₁-C₄-alkyl, halogen, --OR₈, -CN, --NO₂, -NH₂, -CH₂NR₆R₇, -OH, =O, a ketal, -COOH, -SO₃H, -PO₃H₂, -COOR₈, -CONR₆R₇, -COR₉, -SO₂-R₈, -CONR₆R₇ or



R₅ denotes C₁-C₄-alkyl, optionally substituted by OH, OCOR₈, NH₂, NR₆R₇ or NHCOR₈,

R₆ denotes hydrogen, an optionally substituted C₃₋₆-cycloalkyl group, a branched or unbranched alkyl-, alkenyl- or alkynyl group having up to 10 carbon atoms, preferably a C₁-C₄-

alkyl group, which may optionally be substituted by hydroxy, phenyl, substituted phenyl, amino, substituted amino, C₁ to C₈, or it denotes $-(CH_2)_m-NHCOOR_8$ wherein m=1, 2, 3 or 4;

R₇ denotes hydrogen, an optionally substituted C₃₋₆-cycloalkyl group, a branched or unbranched alkyl-, alkenyl- or alkynyl group having up to 10 carbon atoms, which may optionally be substituted by hydroxy, phenyl, substituted phenyl, amino, substituted amino, C₁ to C₈, -or it denotes $-(CH_2)_m-NHCOOR_8$ wherein m=1, 2, 3 or 4; or R₆ and R₇ together with the nitrogen atom form a saturated or unsaturated 5- or 6-membered ring which may contain as heteroatoms nitrogen, oxygen or sulphur, while the heterocyclic ring may be substituted by a branched or unbranched C₁₋₄-alkyl group, or may carry one of the following groups: $-(CH_2)_n-NH_2$, =O, a ketal - preferably -O-CH₂-CH₂-O-, $-(CH_2)_n-NH-C_1-C_4$ -alkyl, $-(CH_2)_n-N(C_1-C_8$ -alkyl), $-(CH_2)_n-NHCOOR_8$, (n=2, 3, 4,), halogen, -OR₈, -CN, -NO₂, -NH₂, -CH₂NR₆R₇, -OH, -COOH, -SO₃H, -PO₃H₂, -COOR₈, -CONR₆R₇, -SO₂R₈,

R₈ denotes hydrogen, C₁-C₈-alkyl or C₂-C₈-alkenyl or C₂-C₈-alkynyl optionally substituted with CO₂H, a benzyl- or phenyl- group, which is optionally mono- or polysubstituted by OCH₃;

R₉ denotes C₁-C₈-alkyl or C₂-C₈-alkenyl or C₂-C₈-alkynyl optionally substituted with CO₂H, optionally substituted phenyl, optionally substituted benzyl, C₃-C₆-cycloalkyl, and

R₁₀ denotes -COOR₈, -CH₂OR₈, -CONR₆R₇, hydrogen, C₁-C₃-alkyl, optionally substituted phenyl, -CH₂NR₆R₇;

and pharmaceutically acceptable salts, hydrates and prodrugs thereof.

9. The compound of claim 1, wherein said compound is selected from the group consisting of:


8-Benzyl-1-propyl-3-[4-(4-sulfonoxyphenyl)butyl]xanthine;

8-Benzyl-1-propyl-3-[2-(4-sulfonoxyphenyl)ethyl]xanthine;

3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-sulfonoxypropyl)xanthine;

3-[2-(4-Aminophenyl)ethyl]-8-(4-fluorobenzyl)-1-propylxanthine;

3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(thiophen-2-yl)methyl]xanthine;

3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(1--tetrazol-5-yl)methyl]xanthine;

8-(2-Acetaminobenzyl)-3-[2-(4-aminophenyl)ethyl]-1-propylxanthine;

In re: Wilson *et al.*
Appl. No. 10/780,296
Filed: February 17, 2004

8-(2-Aminobenzyl)-3-(2-phenylethyl)-1-propylxanthine;
8-Benzyl-3-[2-(3-carboxyphenyl)ethyl]-1-propylxanthine;
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(8-sulfonyloctyl)xanthine;
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(5-sulfonylpentyl)xanthine;
and pharmaceutically acceptable salts, hydrates and prodrugs thereof.

10. The compound of claim 1, wherein said compound is selected from the group consisting of:

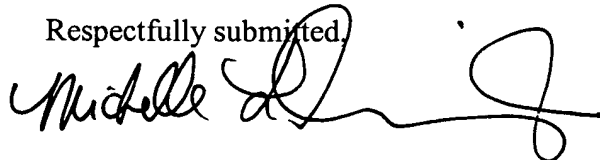
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-propylxanthine;
3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(3-pyridyl)methyl]xanthine;
3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-(4-sulfonylbenzyl)xanthine;
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-methoxypropyl)xanthine;
3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-dimethylamino)propylxanthine;
3-[2-[4-(6-Aminohexanoyl)aminophenyl]ethyl]-8-benzyl-1-
propylxanthine;

and pharmaceutically acceptable salts, hydrates and prodrugs thereof.

It is respectfully requested that a corrected patent application publication be granted for the above-identified application, in accordance with 37 C.F.R. §1.221(b). This request is made in order to correct the mistakes incurred through the fault of the Patent Office.

It is not believed that fees are required, however, any required fees therefore are hereby authorized to be charged to Deposit Account No. 16-0605.

Respectfully submitted,



Michelle L. Cunningham
Registration No. 51,072

In re: Wilson *et al.*
Appl. No. 10/780,296
Filed: February 17, 2004

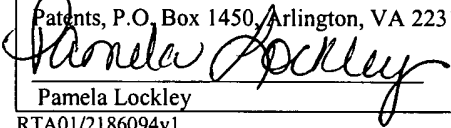


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Pamela Lockley

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